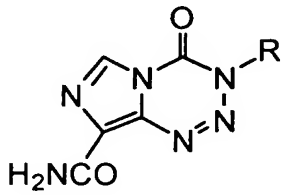
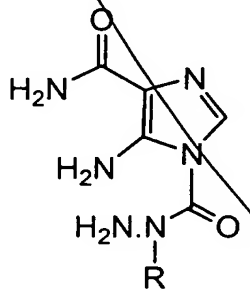
What is claimed is

1. A process for the preparation of a compound of the formula IA



IA

wherein R is an alkyl group having from 1 to 6 carbon atoms, which comprises reacting a compound of the formula II



II

wherein R is described above, with an oxidation/cyclization agent in the presence of an iodide, in an inert medium, under an inert atmosphere and at a temperature and for a time sufficient enough to produce a compound of the formula IA, wherein said iodide is soluble in said inert medium.

2. The process of claim 1 wherein R is an alkyl group having 1 to 4 carbon atoms.

3. The process of claim 1 wherein said oxidation/cyclization agent is selected from the group consisting of:

a) periodic acid,

b) iodine/potassium iodate,

c) bromine,

d) chlorine; and

e) a reagent that oxidizes NH_2 to NZ, where Z represents, Oxygen, (H,Hal), or Hal_2, and wherein Hal is chlorine, bromine or iodine.

4. The process of claim 1 wherein said iodide is a quarternary ammonium iodide and said inert medium is an inert organic solvent.

5. The process of claim 4 wherein said iodide is selected from the group consisting of Bu_4NI and KI.

6. The process of claim 4 wherein said inert organic solvent is selected from the group consisting of:

a) an amide;

b) an acyclic ether;

c) a cyclic ether;

d) an alkyl alkanoate wherein the alkyl group has 1 to 4 carbon atoms and the alkanoate grup has 2 to 4 carbon atoms;

e) a halogenated hydrocarbon and

f) mixtures thereof.

7. The process of claim 6 wherein the organic solvent is selected from the group consisting of:

a) DMF;

b) t-butyl-methyl ether;

c) THF;

d) acetonitrile;

e) methylene chloride;

f) toluene; and

g) mixtures of the above solvents,

8. The process of claim 7 wherein the reaction takes place at a temperature of about (-)20°C to about (+) 70°C and under a nitrogen atmosphere.

9. The process of claim 6 wherein:

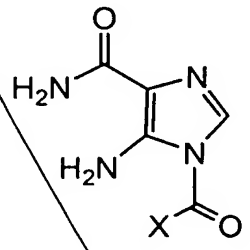
a) the organic solvent is a 50/50 mixture of THF/CH_3CN;

b) the oxidation/cyclization agent is H_5IO_6;

c) the iodide is Bu_4NI and

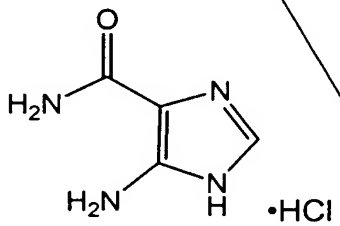
d) the reaction takes place at a temperature of about 0°C to about 60°C.

10. A process for preparing a compound of the formula III:



III

which comprises reacting a compound of the formula 4:



4

with a compound of the formula X-CO-Y, wherein each of X and Y is the same or different leaving group, to yield a compound of the formula III.

11. The process of claim 10 wherein X of said compound X-CO-Y is selected from the group consisting of

a) phenyloxy;

b) 2-naphthyloxy and

c) substituted phenyloxy,

and wherein Y of said compound X-CO-Y is selected from:

a) chlorine,

b) bromine, or

c) iodine.

12. The process of claim 11 wherein the substituents on said substituted phenyloxy group are selected from the group consisting of:

a) nitro;

b) pentafluoro;

c) chlorine;

d) bromine;

e) iodine, and

f) combinations of the above.

13. The process of claim 10 wherein said reaction of the compound of the formula 4 with a compound of the formula X-CO-Y is performed in the presence of an acid binding agent, in an inert organic solvent, under an inert atmosphere and at a temperature of about (-) 20°C to about (+) 50°C.

14. The process of claim 13 wherein said acid binding agent is a tertiary amine.

15. The process of claim 13 wherein the organic solvent is selected from the group consisting of

a) an amide;

b) an acyclic ether;

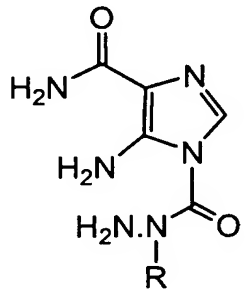
c) a cyclic ether;

d) an alkyl alkanoate wherein the alkyl group has 1 to 4 carbon atoms and the alkanoate grup has 2 to 4 carbon atoms;

e) a halogenated hydrocarbon; and

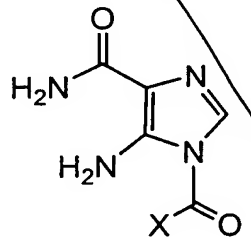
f) mixtures thereof.

16. A process for the preparation of a compound of the formula II:



II

wherein R is an alkyl group having from 1 to 6 carbon atoms, comprising, reacting a compound of the formula III:



III

wherein X is a leaving group of the type that activates its adjacent carbonyl group towards nucleophiles, with an alkylhydrazine having from 1 to 6 carbon atoms.

17. The process of claim 16 wherein said alkylhydrazine is R-NH-NH_2, wherein R is an alkyl group having 1 to 4 carbon atoms.

18. The process of claim 16 wherein the reaction takes place in an inert organic solvent selected from the group consisting of:

a) a non-nucleophilic amine and

b) an ether; and

c) mixtures thereof.

19. The process of claim 16 wherein X is selected from the group consisting of:

a) phenyloxy;

b) 2-naphthyloxy and

c) substituted phenyloxy, wherein the substituents are electron withdrawing.

20. The process of claim 19 wherein said substituents are selected from the group consisting of:

a) 2-nitro;

b) 4-nitro;

c) pentafluoro;

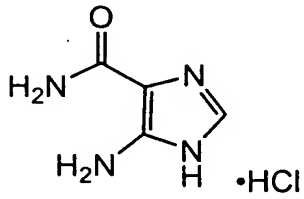
d) chlorine and

e) bromine.

21. The process of claim 17 wherein said alkylhydrazine is a 1-alkyl derivative of 5-amino-4-(aminocarbonyl)-1H-imidazole-1-carboxylic acid hydrazide wherein the alkyl group contains 1 to 6 carbon atoms.

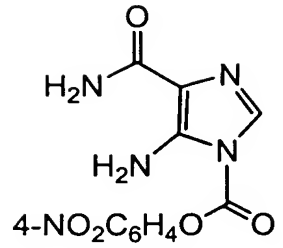
22. The process of claim 21 wherein said alkylhydrazine is 5-amino-4-(aminocarbonyl)-1H-imidazole-1-carboxylic acid 1-methylhydrazide.

23. The process of claim 14 wherein compound 4:



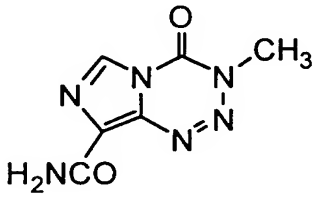
4

is reacted with 4-nitrophenyl chloroformate, in the presence of triethyl amine, said reaction taking place in methylene chloride solvent, under a nitrogen atmosphere and at a temperature of about (-)20°C to about (+) 50°C to yield compound (3):



(3)

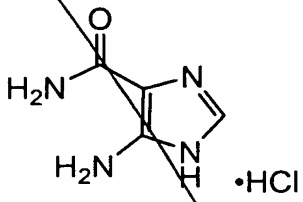
24. A process for preparing temozolomide (1):



(1)

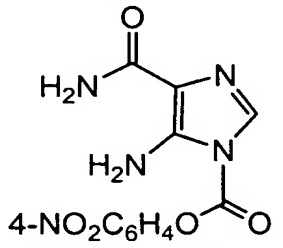
comprising:

a) reacting compound 4:



(4)

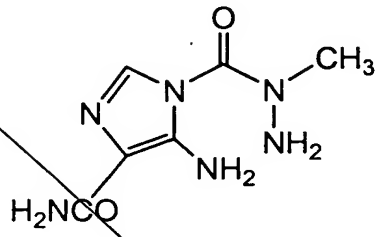
with 4-nitrophenyl chloroformate in the presence of triethylamine in CH_2Cl_2, under a nitrogen atmosphere at about 25°C to obtain compound (3):



3 ;

b) reacting compound (3) with methylhydrazine in DMF at about 0°C to obtain compound (2):

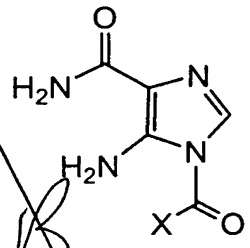
A9 cont



(2) , and

c) reacting compound (2) with Bu_4NI in a 50/50 mixture of THF/CH_3CN, at a temperature of about (+) 60°C for a time of about zero to sixty minutes, followed by the cooling of the reaction mixture to about (+) 25°C and the addition of H_5IO_6 and stirring for about 10 to about 60 minutes to obtain temozolomide (1).

25. A compound of the formula:

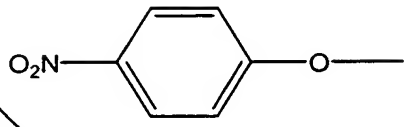


III

or an active ester thereof, wherein X is a leaving group of the type that activates its adjacent carbonyl group towards nucleophiles.

26. The compound of claim 25 wherein X is OH, said compound being 5-amino-4-(aminocarbonyl)-1H-imidazole-1-carboxylic acid.

27. The compound of claim 25 wherein X is



said compound being 1-(4-nitrophenyl)-5-amino-4-(aminocarbonyl)-1H-imidazole-1-carboxylate.

5

28. The compound of claim 25 wherein X is $-N(CH_3)-NH_2$, said compound being 5-amino-4-(aminocarbonyl)-1H-imidazole-1-carboxylic acid-1-methylhydrazide.